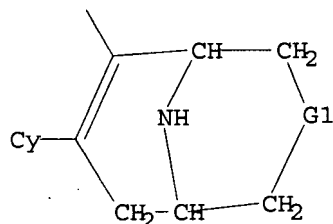


EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	737	(544/47,105).ccls.	USPAT	OR	OFF	2007/07/18 17:19
L2	645	(514/224.2,230.5).ccls.	USPAT	OR	OFF	2007/07/18 17:20
L3	1131	I1 I2	USPAT	OR	OFF	2007/07/18 17:20
L4	107068	RAS\$	USPAT	OR	OFF	2007/07/18 17:20
L5	56	I3 and I4	USPAT	OR	OFF	2007/07/18 17:21



G1 O,S,SO2

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 13:20:57 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 446 TO ITERATE

100.0% PROCESSED 446 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 7653 TO 10187

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 13:21:07 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 8299 TO ITERATE

100.0% PROCESSED 8299 ITERATIONS

8 ANSWERS

SEARCH TIME: 00.00.01

L3 8 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST

172.10 172.31

FILE 'CAPLUS' ENTERED AT 13:21:12 ON 18 JUL 2007

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 18 Jul 2007 VOL 147 ISS 4
FILE LAST UPDATED: 17 Jul 2007 (20070717/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s l3

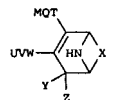
L4 2 L3

=> d ibib abs hitstr tot

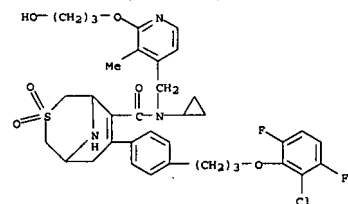
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:395318 CAPLUS
 DOCUMENT NUMBER: 142:463606
 TITLE: Preparation of azabicycloalkenes as renin inhibitors
 INVENTOR(S): Bezencon, Olivier; Sifferlen, Thierry; Bur, Daniel; Fischli, Walter; Weller, Thomas; Remen, Lubos; Richard-Bildstein, Sylvia
 PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd., Switz.
 SOURCE: PCT Int. Appl., 50 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005040173	A1	20050506	WO 2004-EP11704	20041018
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BM, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004283854	A1	20050506	AU 2004-283854	20041018
CA 2540817	A1	20050506	CA 2004-2540817	20041018
EP 1680427	A1	20060719	EP 2004-765982	20041018
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1930170	A	20070314	CN 2004-80010679	20041018
JP 2007509099	T	20070412	JP 2006-536020	20041018
US 2007135405	A1	20070614	US 2006-576904	20060421
IN 2006CN01802	A	20070706	IN 2006-CN1802	20060523
PRIORITY APPLN. INFO.: WO 2003-EP311740 A 20031023				
WO 2004-EP11704 W 20041018				

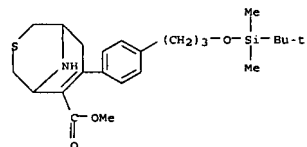
OTHER SOURCE(S): MARPAT 142:463606
 GI



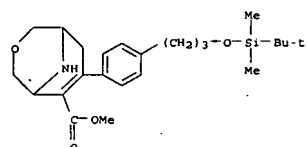
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 851377-84-9P 851377-85-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of azabicycloalkenes as renin inhibitors)
 RN 851377-84-9 CAPLUS
 CN 3-Thia-9-azabicyclo[3.3.1]non-6-ene-6-carboxylic acid, 7-[4-[3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 851377-85-0 CAPLUS
 CN 3-Oxa-9-azabicyclo[3.3.1]non-6-ene-6-carboxylic acid, 7-[4-[3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR

Habte

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB Title compds. [I, Y, Z = H, F, Me; YZ = atoms to form a cyclopropyl ring; X = CH2CH2CH2, CH2CH2, CH2OCH2, CH2SCH2, CH2SOCH2, CH2SO2CH2, CONLCHR6; W = Ph, heteroaryl; V = bond, (CH2)r, A(CH2)s, OCHMeCH2O, etc.; A = O, S, SO, SO2; U = aryl, heteroaryl; T = CONR1, (CH2)pO2C, CO2, etc.; O = alkylene, alkenylene; W = ArO(CH2)rR5, ArOCH2CH2O(CH2)rR5; Ar = aryl, heteroaryl; K = H, CH2OR3, CH2NR2R3, etc.; L = R3, COR3, CO2R3, CONR2R3, SO2R3, SO2NR2R3; R1 = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, cycloalkylalkyl; R2 = H, alkyl, alkenyl, cycloalkyl, cycloalkylalkyl; R3

H, alkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, heterocyclyl, etc.; R5 = OH, O2CR2, CO2R2, cyano, SO3H, morpholinocarbonyl, etc.; R6 = H, (substituted) alkyl, alkoxy; p = 1-4; r = 1-6; s = 2-4; w = 1, 2], were prepared Thus,

rac-(1R,5S)-3-[4-(2-(2,6-dichloro-4-methylphenoxy)ethoxy)phenyl]-8-azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid cyclopropyl[2-(3-hydroxypropoxy)-3-methylpyridin-4-ylmethyl]amide (multistep preparation given) inhibited human recombinant renin

with IC50 = 0.18 nM.

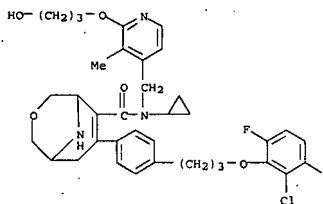
IT 790697-25-5P 790697-26-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of azabicycloalkenes as renin inhibitors)

RN 790697-25-5 CAPLUS

CN 3-Oxa-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[[2-(3-hydroxypropoxy)-3-methyl-4-pyridinylmethyl]- (9CI) (CA INDEX NAME)



RN 790697-26-6 CAPLUS

CN 3-Thia-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[[2-(3-hydroxypropoxy)-3-methyl-4-pyridinylmethyl]-, 3,3-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

07/18/2007

Own work

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:965123 CAPLUS

DOCUMENT NUMBER:

141:410817

TITLE:

Preparation of 9-azabicyclo[3.3.1]non-6-ene-6-carboxylic acid derivatives with an oxygen or sulfur heteroatom at the 3-position as renin inhibitors

INVENTOR(S):

Remen,

Lubow, Richard-Bildstein, Sylvia; Weller, Thomas; Sifferlen, Thierry

PATENT ASSIGNEE(S):

SOURCE:

Actelion Pharmaceuticals Ltd., Switz.

PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004096366	A1	20041111	WO 2004-EP4371	20040426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004233575	A1	20041111	AU 2004-233575	20040426
CA 2521938	A1	20041111	CA 2004-2521938	20040426
EP 1622685	A1	20060208	EP 2004-729430	20040426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004009884	A	20060523	BR 2004-9884	20040426
CN 1780663	A	20060531	CN 2004-8001637	20040426
JP 2006524655	T	20061102	JP 2006-505260	20040426
NO 2005004974	A	20051128	NO 2005-4974	20051026
US 2006258648	A1	20061116	US 2005-554702	20051027
PRIORITY APPLN. INFO.:			WO 2003-EP4492	A 20030430
			WO 2003-EP304492	A 20030430
			WO 2003-EP344924	A 20030430
			WO 2004-EP4371	W 20040426

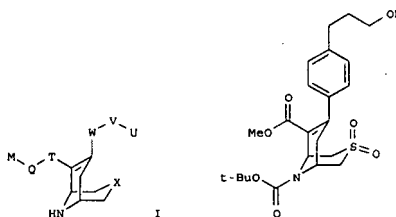
OTHER SOURCE(S):

MARPAT 141:410817

GI

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)

AB The authors prepared the title compds. I [X = O, S, SO, SO₂; W = 6-membered

non-benzofused Ph, heteroaryl substituted by V in meta or para position;

V

= (CH₂)_r, A(CH₂)_vB, (CH₂)₃ACH₂, OCH₂CH(OMe)CH₂O, etc., r = 3-6, v = 2-4,A, B = independently O, S, SO, SO₂; U = (hetero)aryl; T = CONR₁,(CH₂)_pOCO,(CH₂)_pNR₁CO, (CH₂)_pNR₁SO₂, CO₂, R₁ = H, (cyclo)alkyl, alkenyl, alkynyl, aryl, p = 1-4; Q = alkylene, alkenylene; M = H, cycloalkyl, (hetero)aryl, heterocyclic to be used in treatment or prophylaxis of various disorders and diseases associated with the renin-angiotensin system. For example,

I [X

= SO₂, T = CONR₁, R₁ = cyclopropyl, Q = CH₂, M = 2,3-Cl₂C₆H₃, WV =4-C₆H₄(CH₂)₃O, U = 2-Cl-3,6-F₂C₆H₃] was prepared from dicarboxylic acid

II

via addition of 2-chloro-3,6-difluorophenol followed by hydrolysis of

the Me

ester, amidation with cyclopropyl(2,3-dichlorobenzyl)amine, and removal

of

the BOC group.

IT 790697-22-2P 790697-23-3P 790697-24-4P

790697-25-5P 790697-26-6P 790697-27-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

[Preparation of azabicyclononene carboxylic acid cyclopropyl ester

derivs.

for treatment or prophylaxis of disorders and diseases associated

with the

renin-angiotensin system)

RN 790697-22-2 CAPLUS

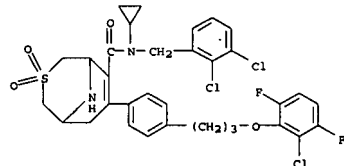
CN 3-Thia-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6-

difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[(2,3-

difluorophenoxy)methyl]-, 3,3-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

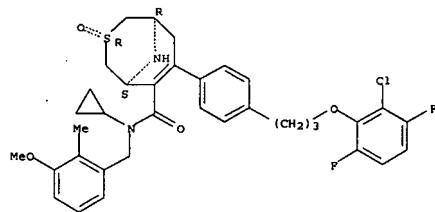
(Continued)



RN 790697-23-3 CAPLUS

CN 3-Thia-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]-, 3-oxide, (1R,3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



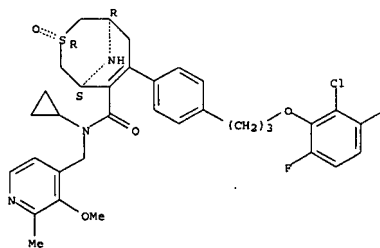
RN 790697-24-4 CAPLUS

CN 3-Thia-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methyl-4-pyridinyl)methyl]-, 3-oxide, (1R,3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

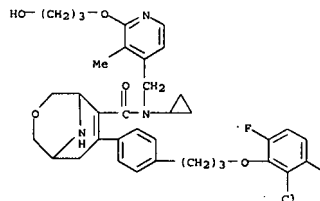
L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)



RN 790697-25-5 CAPLUS

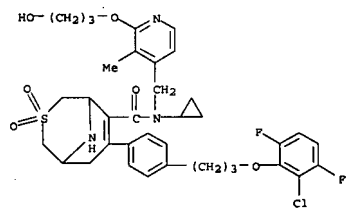
CN 3-Oxa-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[(2-(3-hydroxypropoxy)-3-methyl-4-pyridinyl)methyl]-, 3,3-dioxide (9CI) (CA INDEX NAME)



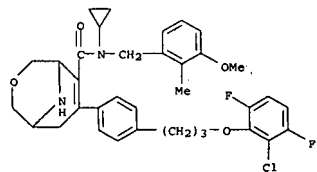
RN 790697-26-6 CAPLUS

CN 3-Thia-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[(2-(3-hydroxypropoxy)-3-methyl-4-pyridinyl)methyl]-, 3,3-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 790697-27-7 CAPLUS
CN 3-Oxa-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

PALM INTRANET

Day : Wednesday

Date: 7/18/2007

Time: 14:35:11

Inventor Information for 10/554702

Inventor Name	City	State/Country
BEZENCON, OLIVIER	RIEHEN	SWITZERLAND
BUR, DANIEL	ALLSCHWIL	SWITZERLAND
FISCHLI, WALTER	ALLSCHWIL	SWITZERLAND
REMEIN, LUBOS	ALLSCHWIL	SWITZERLAND
RICHARD-BILDSTEIN, SYLVIA	DIETWILLER	FRANCE
WELLER, THOMAS	BINNINGEN	SWITZERLAND
SIFFERLEN, THIERRY	GUEWENHEIM	GERMANY

[Appln Info](#)[Contents](#)[Petition Info](#)[Atty/Agent Info](#)[Continuity/Reexam](#)[Foreign C](#)Search Another: Application # or Patent# PCT / / or PG PUBS # Attorney Docket # Bar Code #

To go back use Back button on your browser toolbar.

Back to [PALM](#) | [ASSIGNMENT](#) | [OASIS](#) | [Home page](#)